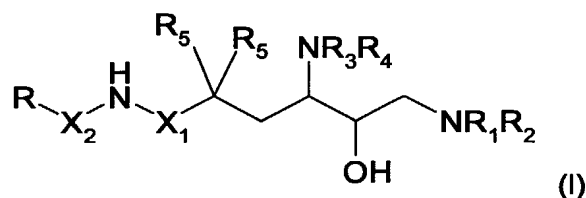


Claims:

1. Compound of the formula



where

R₁ is a) hydrogen, hydroxyl or amino; or

is b) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl-C₀-C₄-alkyl or heterocyclyl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl;

R₂ is a) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkylsulphonyl, C₃-C₈-cycloalkylsulphonyl, aryl-C₀-C₈-alkylsulphonyl, heterocyclylsulphonyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₃-C₈-cycloalkanoyl, aryl-C₁-C₈-alkanoyl, aryl-C₃-C₈-cycloalkanoyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, optionally N-mono- or N,N-di-C₁-C₈-alkylated carbamoyl-C₀-C₈-alkyl, aryl-C₀-C₄-alkyl or heterocyclyl-C₀-C₄-alkyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, amino, C₁₋₆-alkylamino, di-C₁₋₆-alkylamino, C₀-C₆-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, optionally N-mono- or N,N-di-C₁-C₈-alkylated carbamoyl, C₁-C₈-alkoxycarbonyl, C₁₋₆-alkylene-dioxy, aryl or heterocyclyl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an -SO- or -SO₂- group, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members, and the second ring may also contain a nitrogen, oxygen or sulphur atom or an -SO- or -SO₂- group, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkoxycarbonylamino, C₁-C₈-alkylcarbonylamino, C₁-C₈-alkyl-

- 45 -

amino, N,N-di-C₁-C₈-alkylamino, aryl-C₀-C₄-alkyl, aryloxy-C₀-C₄-alkyl, aryl-C₀-C₄-alkyl-C₁-C₈-alkoxy, aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy, heterocyclyl-C₀-C₄-alkyl, heterocyclyloxy-C₀-C₄-alkyl, heterocyclyl-C₀-C₄-alkyl-C₁-C₈-alkoxy or heterocyclyloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy;

R₃ is hydrogen, C₁-C₈-alkyl, C₁-C₈-alkoxycarbonyl or C₁-C₈-alkanoyl;

R₄ is hydrogen, C₁-C₈-alkyl, C₁-C₈-alkoxycarbonyl or C₁-C₈-alkanoyl; R₅ are each independently hydrogen or C₁-C₈-alkyl, or, together with the carbon atom to which they are bonded, are a C₃-C₈-cycloalkylidene radical;

R is an optionally substituted unsaturated carbocyclic or heterocyclic radical;

one of the X₁ and X₂ radicals is carbonyl and the other is methylene;

or salt or prodrug thereof, or where one or more atoms are replaced by their stable, non-radioactive isotopes.

2. Compound of the formula I according to Claim 1, where

R₁ is a) hydrogen; or

is b) C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

R₂ is a) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl or aryl-C₁-C₈-alkanoyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, C₁-C₈-alkylamino, cyano, halogen, hydroxyl, oxide, C₀-C₈-alkylcarbonylamino, C₁-C₈-alkoxy, oxo, trifluoromethyl or aryl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated, 4-8-membered, heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxo, oxide, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkylcarbonylamino or aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy.

3. Compound of the formula I according to Claim 1, where

R is a 2-R_A-4-R_C-phenyl radical, 2-R_A-pyridin-3-yl radical or 3-R_A-pyridin-2-yl radical,

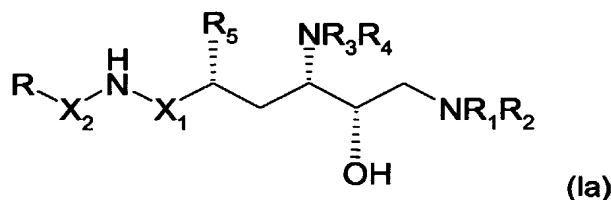
where

- 46 -

R_A is C₁-C₄-alkoxy-C₁-C₄-alkyl such as propyloxymethyl, morpholino-C₁-C₄-alkyl such as 2-morpholinoethyl or 3-morpholinopropyl, C₁-C₈-alkanoylpiperazino-C₁-C₄-alkyl such as N'-acetylpiperazinomethyl, C₁-C₈-alkoxy such as propyloxy, C₁-C₄-alkoxy-C₁-C₅-alkoxy such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C₁-C₄-alkoxy-C₂-C₄-alkenyloxy such as 4-methoxybut-2-enyloxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy-C₁-C₄-alkoxy such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C₁-C₄-alkoxy such as 2-aminoethoxy or 3-aminopropyloxy, di-C₁-C₄-alkylamino-C₁-C₄-alkoxy such as 3-dimethylaminopropyloxy, C₁-C₈-alkanoyl-amino-C₁-C₄-alkoxy such as N-acetylaminomethoxy, C₁-C₈-alkanoyl-amino-C₁-C₄-alkyl such as N-acetylaminopropyl, carbamoyl-C₁-C₄-alkoxy such as 2-carbamoylethoxy or carbamoyl, and

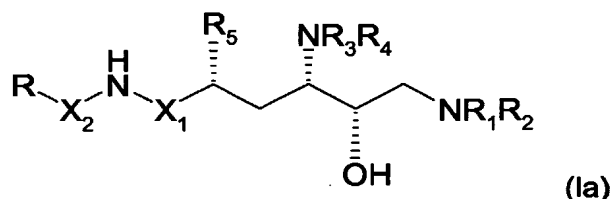
R_C is hydrogen, di-C₁-C₄-alkylamino-C₁-C₄-alkyl such as dimethylaminomethyl, piperidino-C₁-C₄-alkyl such as piperidinomethyl, pyrrolidino-C₁-C₄-alkyl such as pyrrolidinomethyl, morpholino-C₁-C₄-alkyl such as morpholinomethyl, C₁-C₈-alkanoylpiperazino-C₁-C₄-alkyl such as N'-acetylpiperazinomethyl, or C₁-C₄-alkylpiperazino-C₁-C₄-alkyl such as N'-methylpiperazinomethyl, morpholino, C₁-C₄-alkoxy such as methoxy, morpholino-C₁-C₄-alkoxy such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino-C₁-C₄-alkylcarbamoyl-C₁-C₄-alkoxy such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C₁-C₄-alkoxy such as 2-piperidinoethoxy, carboxyl, carbamoyl, C₁-C₄-alkylcarbamoyl such as methylcarbamoyl, carboxy-C₁-C₄-alkoxy such as carboxymethoxy, di-C₁-C₄-alkylamino-C₁-C₄-alkoxy, such as 3-dimethylaminopropyloxy, C₁-C₈-alkylcarbamoyl-C₁-C₄-alkoxy such as butylcarbamoylmethoxy, or tetrazolyl-C₁-C₄-alkoxy, such as tetrazol-5-ylmethoxy,

4. Compound according to Claim 1 of the formula Ia



where R, R₁, R₂, R₃, R₄, R₅, X₁ and X₂ are each as defined in Claim 1.

5. Compound according to Claim 1 of the formula Ia



where

R₁ is a) hydrogen; or

is b) C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

R₂ is a) C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₁-C₈-alkanoyl, heterocyclyl-C₁-C₈-alkanoyl, C₃-C₁₂-cycloalkyl-C₁-C₈-alkanoyl or aryl-C₁-C₈-alkanoyl, which radicals may be substituted by 1-4 C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkoxy, C₁₋₆-alkylamino, cyano, halogen, hydroxyl, oxide, C₀-C₆-alkylcarbonylamino, C₁-C₈-alkoxy, oxo, trifluoromethyl or aryl; or

is b) together with R₁ and the nitrogen atom to which they are bonded, a saturated or partly unsaturated, 4-8-membered, heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl or C₁-C₈-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, hydroxyl, oxo, oxide, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy, C₁-C₈-alkylcarbonylamino or aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy;

R₃ and R₄ are each hydrogen,

R₅ is C₁-C₄-alkyl, such as methyl or isopropyl,

R is a 2-R_A-4-R_C-phenyl radical, 2-R_A-pyridin-3-yl radical or 3-R_A-pyridin-2-yl radical,

where

R_A is C₁-C₄-alkoxy-C₁-C₄-alkyl such as propyloxymethyl, morpholino-C₁-C₄-alkyl such as 2-morpholinoethyl or 3-morpholinopropyl, C₁-C₈-alkanoylpiperazino-C₁-C₄-alkyl such as N'-acetylpiperazinomethyl, C₁-C₈-alkoxy such as propyloxy, C₁-C₄-alkoxy-C₁-C₅-alkoxy such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C₁-C₄-alkoxy-C₂-C₄-alkenyloxy such as 4-methoxybut-2-enyloxy, C₁-C₄-alkoxy-C₁-C₄-alkoxy-C₁-C₄-alkoxy such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C₁-C₄-alkoxy such as

- 48 -

2-aminoethoxy or 3-aminopropoxy, di-C₁-C₄-alkylamino-C₁-C₄-alkoxy such as 3-dimethylaminopropoxy, C₁-C₈-alkanoyl-amino-C₁-C₄-alkoxy such as N-acetylaminethoxy, C₁-C₈-alkanoyl-amino-C₁-C₄-alkyl such as N-acetylaminethyl, carbamoyl-C₁-C₄-alkoxy such as 2-carbamoylethoxy or carbamoyl, and

R_C is hydrogen, di-C₁-C₄-alkylamino-C₁-C₄-alkyl such as dimethylaminomethyl, piperidino-C₁-C₄-alkyl such as piperidinomethyl, pyrrolidino-C₁-C₄-alkyl such as pyrrolidinomethyl, morpholino-C₁-C₄-alkyl such as morpholinomethyl, C₁-C₈-alkanoylpiperazino-C₁-C₄-alkyl such as N'-acetylpiperazinomethyl, or C₁-C₄-alkylpiperazino-C₁-C₄-alkyl such as N'-methylpiperazinomethyl, morpholino, C₁-C₄-alkoxy such as methoxy, morpholino-C₁-C₄-alkoxy such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino-C₁-C₄-alkylcarbamoyl-C₁-C₄-alkoxy such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C₁-C₄-alkoxy such as 2-piperidinoethoxy, carboxyl, carbamoyl, C₁-C₄-alkylcarbamoyl such as methylcarbamoyl, carboxy-C₁-C₄-alkoxy such as carboxymethoxy, di-C₁-C₄-alkylamino-C₁-C₄-alkoxy, such as 3-dimethylaminopropoxy, C₁-C₈-alkylcarbamoyl-C₁-C₄-alkoxy such as butylcarbamoylmethoxy, or tetrazolyl-C₁-C₄-alkoxy, such as tetrazol-5-ylmethoxy,

X₁ is methylene and X₂ is carbonyl,

or a salt thereof, in particular a pharmaceutically usable salt thereof.

6. Compound according to one of Claims 1-5 for use in a method for the therapeutic treatment of the human or animal body.

7. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claim 1-5 in free form or as a pharmaceutically usable salt.

8. Use of a compound according to one of Claims 1-5 for preparing a pharmaceutical preparation having renin-inhibiting action.

9. Use of a compound according to one of Claims 1-5 for preparing a pharmaceutical preparation for the treatment or prevention of hypertension, heart failure, glaucoma, cardiac infarction, kidney failure or restenosis.